

## INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP/2004/06795

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D211/46 C07D207/08 C07D211/22 C07D409/14 A61K31/40  
A61K31/4025 A61K31/452 A61P11/00

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, CHEM ABS Data, WPI Data, PAJ, BIOSIS

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P,X	WO 03/087094 A (ALMIRALL PRODESFARMA SA ; FERNANDEZ FORNER MARIA DOLORS (ES); PRAT QUI) 23 October 2003 (2003-10-23) claims; examples	1-17
X	EP 1 302 458 A (BANYU PHARMA CO LTD) 16 April 2003 (2003-04-16) claims 1,40 paragraphs [0033], [0042] examples 39,40 ----- -/--	1-16



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

## \* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search

19 October 2004

Date of mailing of the international search report

07.02.05

Name and mailing address of the ISA

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## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>DATABASE CA [Online]  CHEMICAL ABSTRACTS SERVICE, COLUMBUS,  OHIO, US;  KUTSUMA, TERUO ET AL:  "1-(1,3-Dioxolan-4-ylmethyl)piperdinol  derivatives"  XP002300846  retrieved from STN  Database accession no. 1978:6859  abstract  -&amp; JP 52 083763 A (OTA PHARMACEUTICAL CO.,  LTD., JAPAN) 12 July 1977 (1977-07-12)  page 719; table</p>	1-16
X	<p>-----  DATABASE CA [Online]  CHEMICAL ABSTRACTS SERVICE, COLUMBUS,  OHIO, US;  KUTSUMA, TERUO ET AL: "Stereoisomers of  4-acyloxy-1-alkyl-1-(1,3-dioxolan-4-  ylmethyl)piperidinium salt derivatives"  XP002300847  retrieved from STN  Database accession no. 1979:405219  compounds with RN 70399-80-3, 70399-81-4,  70399-89-2  abstract  &amp; JP 54 027570 A (OTA PHARMACEUTICAL CO.,  LTD., JAPAN) 1 March 1979 (1979-03-01)</p>	1-16
X	<p>-----  DATABASE CA [Online]  CHEMICAL ABSTRACTS SERVICE, COLUMBUS,  OHIO, US;  "4-Acyloxy-1-(1,3-dioxolan-2-ylmethyl)pipe  ridine derivatives"  XP002300848  retrieved from STN  Database accession no. 1981:603921  compounds with RN 79708-67-1, 79708-68-2,  79708-70-6, 79708-71-7, 79708-78-4,  79708-81-9, 79708-85-3, 79708-86-4  abstract  &amp; JP 56 079688 A (OHTA PHARMACEUTICAL CO.,  LTD., JAPAN) 30 June 1981 (1981-06-30)</p>	1-16
X	<p>-----  DATABASE CA [Online]  CHEMICAL ABSTRACTS SERVICE, COLUMBUS,  OHIO, US; ".alpha.-Cyclic  aminophenylacetic acid esters and their  quaternary ammonium salts"  XP002300849  retrieved from STN  Database accession no. 1984:120902  compounds with RN 89006-65-5, 89006-66-6  abstract</p> <p style="text-align: center;">-/--</p>	1-16

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
	& JP 58 208270 A (DAINIPPON PHARMACEUTICAL CO., LTD., JAPAN) 3 December 1983 (1983-12-03) -----	
X	YOSHIDA, SEIICHIRO ET AL: "Structure-activity relationship of 3- and 4-acyloxy-1-(1,3-dioxolan-4-ylmethyl)piperidine derivatives" CHEMICAL & PHARMACEUTICAL BULLETIN , 33(2), 818-22 CODEN: CPBTAL; ISSN: 0009-2363, 1985, XP009037904 tables 1,2	1-16
X	----- SUGAI, SABURO ET AL: "Studies on spasmolytics. II. Synthesis and anticholinergic activities of 4-acyloxy-1-alkyl-1-(1,3-dioxolan-4-ylmethyl)piperidinium compounds" CHEMICAL & PHARMACEUTICAL BULLETIN , 32(3), 977-85 CODEN: CPBTAL; ISSN: 0009-2363, 1984, XP009037906 the whole document	1-16
X	----- SUGAI, SABURO ET AL: "Studies on spasmolytics. III. Synthesis and anticholinergic activity of 4-(acyloxy)-1-(1,3-dioxolan-2-ylmethyl)piperidines and their quaternary salts" CHEMICAL & PHARMACEUTICAL BULLETIN , 32(3), 1126-34 CODEN: CPBTAL; ISSN: 0009-2363, 1984, XP009037905 the whole document	1-16
X	----- DATABASE CA [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; KAJIWARA, YOSHIO ET AL: "Studies on spasmolytics. VI. Pharmacological studies of stereoisomeric 1,4-trans- and cis-4-benziloyloxy-1-(2,2-dimethyl-1,3-dioxolan-4-ylmethyl)-1-methylpiperidinium compounds" XP002300850 retrieved from STN Database accession no. 1986:14939 compounds with RN 91614-47-0 abstract & YAKUGAKU ZASSHI , 105(10), 983-9 CODEN: YKKZAJ; ISSN: 0031-6903, 1985, ----- -/--	1-16

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## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WAELEBROECK, M. ET AL: "Binding properties of nine 4-diphenyl-acetoxy-N-methylpiperidine (4-DAMP) analogs to M1, M2, M3 and putative M4 muscarinic receptor subtypes" BRITISH JOURNAL OF PHARMACOLOGY, 105(1), 97-102 CODEN: BJPCBM; ISSN: 0007-1188, 1992, XP009037886 compound "pentamethylene-bis-4-(diphenylacetoxy)-N-methylpiperidinium bromide" table 1 -----	1-16
X	EP 0 073 645 A (DAINIPPON PHARMACEUTICAL CO) 9 March 1983 (1983-03-09) claim 1 page 14, lines 12-19 example 19 -----	1-16
X	WO 92/06958 A (BRITISH TECH GROUP) 30 April 1992 (1992-04-30) claims 10,15 -----	1-16

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International application No.  
PCT/EP2004/006795

## Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☐ Claims Nos.:  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

see additional sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☒ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

1-17 (part)

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1-17 (in part)

Compounds according to structure I in which R5 is a substituted alkyl group; their uses, processes for their preparation and respective intermediates  
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2. claims: 1-3, 7-16 (all in part)

Compounds according to structure I in which R5 is an optionally substituted alkenyl or alkynyl group; their uses and processes for their preparation  
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## INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/EP/2004/06795

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 03087094	A	23-10-2003	ES 2206021 A1 BR 0309167 A CA 2482536 A1 WO 03087094 A2 EP 1497284 A2	01-05-2004 25-01-2005 23-10-2003 23-10-2003 19-01-2005
EP 1302458	A	16-04-2003	AU 7102701 A CA 2415468 A1 EP 1302458 A1 US 2003191316 A1 WO 0204402 A1	21-01-2002 10-01-2003 16-04-2003 09-10-2003 17-01-2002
JP 52083763	A	12-07-1977	JP 1295589 C JP 60018661 B	26-12-1985 11-05-1985
JP 54027570	A	01-03-1979	NONE	
JP 56079688	A	30-06-1981	NONE	
JP 58208270	A	03-12-1983	NONE	
EP 0073645	A	09-03-1983	JP 1000952 B JP 1518847 C JP 58035177 A AU 554428 B2 AU 8743482 A CA 1175048 A1 DE 3274239 D1 DK 375882 A ,B EP 0073645 A2 ES 8401969 A1 PH 17589 A US 4447439 A ZA 8206135 A	10-01-1989 29-09-1989 01-03-1983 21-08-1986 03-03-1983 25-09-1984 02-01-1987 27-02-1983 09-03-1983 01-04-1984 02-10-1984 08-05-1984 27-07-1983
WO 9206958	A	30-04-1992	AU 8714991 A CA 2072598 A1 EP 0506903 A1 FI 922903 A WO 9206958 A1 GB 2249093 A JP 5504578 T PT 99299 A ZA 9108381 A	20-05-1992 24-04-1992 07-10-1992 22-06-1992 30-04-1992 29-04-1992 15-07-1993 31-08-1992 21-04-1993